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(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **NICOLAOU, Kyriacos, C.** [US/US]; 9625 Blackgold Road, La Jolla, CA 92037 (US). **ROECKER, Anthony, J.** [US/US]; 3337 Clairemont Dr., #4, San Diego, CA 92117 (US). **HUGHES, Robert** [GB/US]; 800 N. Lindberg, Q403, Creve Couer, MO 63167 (US). **PFEFFERKORN, Jeffrey, A.** [US/US]; 1521 Natalie Lane, Apt. #202, Ann Arbor, MI 48105 (US).

(74) Agents: **CEPURITIS, Talivaldis** et al.; OLSON & HIERL, LTD., 20 North Wacker Drive, 36th Floor, Chicago, IL 60606 (US).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

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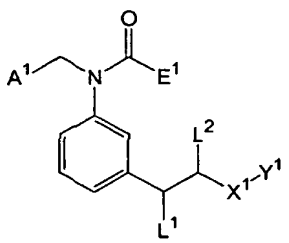
(54) Title: NON-STEROIDAL FXR AGONISTS



(I)

(57) Abstract: ABSTRACT Potent non-steroidal farnesoid X receptor (FXR) agonists are N-aryl-N-arylmethyl amido and ureido compounds having the chemical structure represented by the following formula (I): INSERT FORMULA wherein E1 is (C1-C8)alkyl, cyclohexyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, phenyl, or NH(C1-C8)alkyl; L1 and L2 are both H, or together form a pi-bond; X1 is C(O), or CH2; Y1 is H, NHZ1, NH(Z2)Z3, or OZ4; aryl moiety A1 is selected from the group of radicals consisting of: INSERT FORMULA A2 and G1 - G11 are as defined in the specification; and T1 and T2 are each independently O, S, NH, or N(C1-C8)alkyl. The FXR agonists are useful as therapeutic agents for the treatment of diseases linked to cholesterol, bile acids, and their metabolism and homeostasis.

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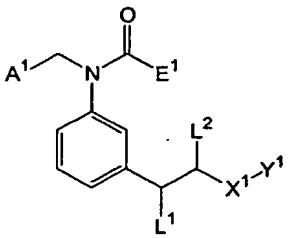

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